

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
17 February 2000 (17.02.2000)

PCT

(10) International Publication Number
WO 00/008048 A3

(51) International Patent Classification⁷: **C07K 5/12**,
A61K 38/07

(21) International Application Number: PCT/JP99/04148

(22) International Filing Date: 2 August 1999 (02.08.1999)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
PP 5057 4 August 1998 (04.08.1998) AU

(71) Applicant (for all designated States except US): **FUJISAWA PHARMACEUTICAL CO., LTD.** [JP/JP]; 4-7, Doshomachi 3-chome, Chuo-ku, Osaka-shi, Osaka 541-8514 (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **MORI, Hiroaki** [JP/JP]; 5-20-205, Ohmachi, Tsuchiura-shi, Ibaraki 300-0038 (JP). **ABE, Fumie** [JP/JP]; 2-21-2-1-501, Matsushiro, Tsukuba-shi, Ibaraki 305-0035 (JP).

YOSHIMURA, Seiji [JP/JP]; 4-21-2-1-404, Matsushiro, Tsukuba-shi, Ibaraki 305-0035 (JP). **TAKASE, Shigehiro** [JP/JP]; 1-12-10, Sousha, Ishioka-shi, Ibaraki 315-0016 (JP). **HINO, Motohiro** [JP/JP]; 13-3-1003, Touzaki-cho, Tsuchiura-shi, Ibaraki 300-0031 (JP).

(74) Agent: **TABUSHI, Eiji**; Fujisawa Pharmaceutical Co., Ltd., Osaka Factory, 1-6, Kashima 2-chome, Yodogawa-ku, Osaka-shi, Osaka 532-8514 (JP).

(81) Designated States (national): BR, CA, CN, JP, KR, US.

(84) Designated States (regional): European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).

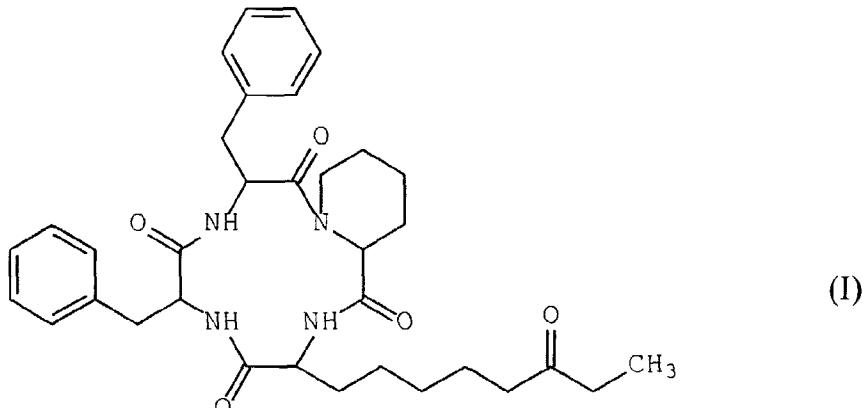
Published:

— with international search report

(88) Date of publication of the international search report:
15 August 2002

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INHIBITOR OF HISTONE DEACETYLASE



(57) Abstract: The present invention provides a new compound of formula (I) which has a histone deacetylase inhibiting activity, and a process for production thereof. Also provided is a pharmaceutical composition containing the compound for treating or preventing organ transplant rejections, autoimmune diseases, tumors, and the like.

INTERNATIONAL SEARCH REPORT

National Application No

NL/JP 99/04148

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07K5/12 A61K38/07

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07K A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	GB 2 309 696 A (MERCK & CO INC) 6 August 1997 (1997-08-06) page 1 -page 4 page 6 ---	8,9
X	WO 97 35990 A (JAMISON TIMOTHY F ;HARVARD COLLEGE (US); TAUNTON JACK (US); HASSIG) 2 October 1997 (1997-10-02) abstract; claims 80-82 ---	8,9 -/-

 Further documents are listed in the continuation of box C. Patent family members are listed in annex.

° Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the International filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the International filing date but later than the priority date claimed

"T" later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the International search

4 November 1999

Date of mailing of the International search report

11/11/1999

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Cervigni, S

INTERNATIONAL SEARCH REPORT

International Application No

PC P 99/04148

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	KIJIMA M ET AL: "TRAPOXIN, AN ANTITUMOR CYCLIC TETRAPEPTIDE, IS AN IRREVERSIBLE INHIBITOR OF MAMMALIAN HISTONE DEACETYLASE" JOURNAL OF BIOLOGICAL CHEMISTRY, vol. 268, no. 30, 1993, pages 22429-22435, XP002914497 ISSN: 0021-9258 abstract page 22429, column 2, last paragraph ---	8, 9
X	EP 0 406 725 A (SHIONOGI & CO) 9 January 1991 (1991-01-09) page 3, line 19 -----	8, 9

INTERNATIONAL SEARCH REPORT

nation on patent family members

International Application No

PC > 99/04148

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
GB 2309696	A 06-08-1997	NONE		
WO 9735990	A 02-10-1997	AU 2990597	A	17-10-1997
EP 0406725	A 09-01-1991	JP 3034991	A	14-02-1991
		AT 102955	T	15-04-1994
		DE 69007356	D	21-04-1994
		DE 69007356	T	13-10-1994
		DK 406725	T	02-05-1994
		ES 2053018	T	16-07-1994
		US 5112944	A	12-05-1992